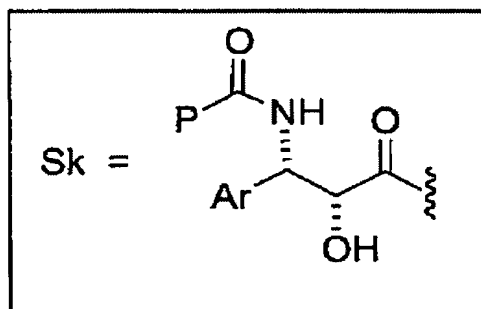
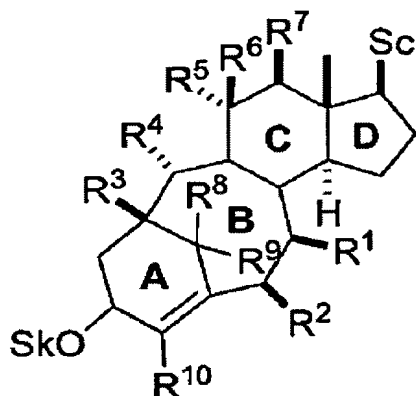


# CLAIMS

1.- Compounds of formula (1), characterized by:



(1)

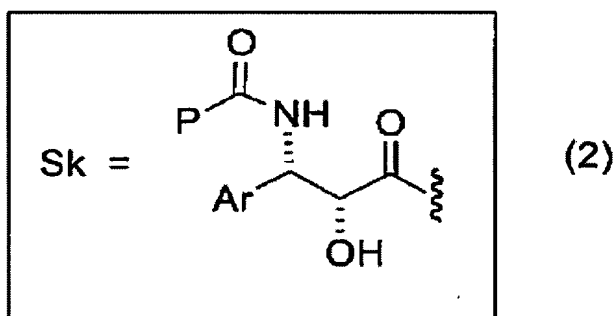
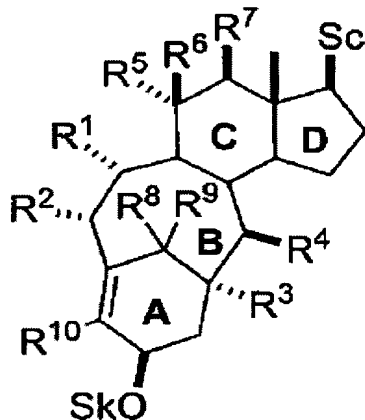
- wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup> and R<sup>10</sup> can be a hydrogen atom, an acyloxy, alkyloxy, aryloxy, alkylthio, arylthio or alkyl group with C<sub>1</sub>-C<sub>10</sub> chains, in which the radical can be linear or branched alkyl with 1-10 carbon atoms, alkenyl with 2 to 10 carbon atoms, alkynyl with 3 to 10 carbon atoms, cycloalkyl with 3 to 6 carbon atoms, cycloalkenyl with 4 to 6 carbon atoms or bicycloalkyl with 7 to 10 carbon atoms; these radicals possibly being substituted by one or several identical or different substituents chosen from halogen atoms and hydroxy, alkoxy radicals containing 1 to 4 carbon atoms, piperidinyl, morpholinyl, piperazinyl-1 (possibly substituted at -4 by an alkyl radical with 1 to 4 carbon atoms or by a phenylalkyl radical, the alkyl part of which contains 1 to 4 carbon atoms), cycloalkyl with 3 to 6 carbon atoms, cycloalkenyl with 4 to 6 carbon atoms, phenyl, cyano, nitro, carboxy or alkoxycarbonyl, the alkyl part of which contains 1 to 4 carbon atoms, or a phenyl radical, possibly substituted by one or several identical or different radicals, chosen from alkyl radicals with 1 to 4 carbon atoms or alkoxy radicals containing 1 to 4 carbon atoms, a saturated or unsaturated nitrogenous heterocyclic radical containing 5 or 6 members, possibly substituted by one or several alkyl radicals with 1 to 4 carbon atoms, understanding that the cycloalkyl, cycloalkenyl or bicycloalkyl radicals can possibly be substituted by one or several alkyl radicals with 1 to 4 carbon atoms; and

- Sc is the characteristic side chain of steroids or a linear or branched alkyl radical with 1-12 carbon atoms, alkenyl with 2 to 12 carbon atoms, alkynyl with 3 to 12 carbon atoms, cycloalkyl with 3 to 6 carbon atoms, cycloalkenyl with 4 to 6 carbon atoms or bicycloalkyl with 7 to 10 carbon atoms; these radicals possibly being substituted by one or several identical or different substituents chosen from halogen atoms and hydroxy, alkoxy radicals containing 1 to 4 carbon atoms, piperidinyl,

morpholinyl, piperazinyl-1 (possibly substituted at -4 by an alkyl radical with 1 to 4 carbon atoms or by a phenylalkyl radical, the alkyl part of which contains 1 to 4 carbon atoms), cycloalkyl with 3 to 6 carbon atoms, cycloalkenyl with 4 to 6 carbon atoms, phenyl, cyano, nitro, carboxy or alkoxycarbonyl, the alkyl part of which contains 1 to 4 carbon atoms, or a phenyl radical, possibly substituted by one or several identical or different radicals, chosen from alkyl radicals with 1 to 4 carbon atoms, or alkoxy radicals containing 1 to 4 carbon atoms, a saturated or unsaturated nitrogenous heterocyclic radical with 5 or 6 members, possibly substituted by one or several alkyl radicals with 1 to 4 carbon atoms, understanding that the cycloalkyl, cycloalkenyl or bicycloalkyl radicals can possibly be substituted by one or several alkyl radicals containing 1 to 4 carbon atoms; and

- Sk is an amino acid chain analogous to that of taxanes, in which P represents a phenyl group or an alkoxy radical with alkyl chains with 1 to 10 carbon atoms, alkenyl and alkynyl chains with 3 to 10 carbon atoms, cycloalkyl and cycloalkenyl chains with 4 to 7 carbon atoms in the ring, a phenyl or a heterocyclic compound, and Ar is an aromatic compound.

2.- Compounds of formula (2) characterized by:



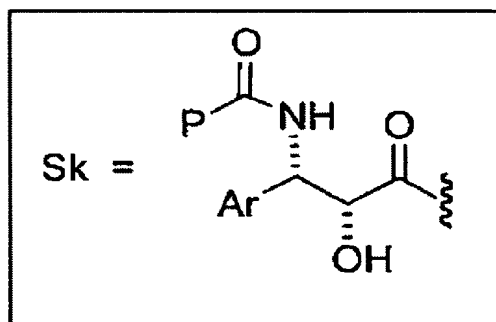
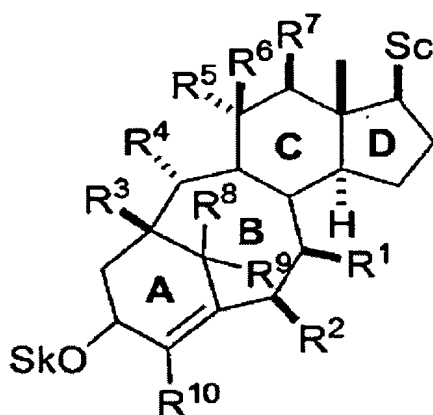
- wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup> and R<sup>10</sup> can be a hydrogen atom, an acyloxy, alkyloxy, aryloxy, alkylthio, arylthio or alkyl group with C<sub>1</sub>-C<sub>10</sub> chains, in which the radical can be linear or branched alkyl with 1-10 carbon atoms, alkenyl with 2 to 10 carbon atoms, alkynyl with 3 to 10 carbon atoms, cycloalkyl with 3 to 6 carbon atoms, cycloalkenyl with 4 to 6 carbon atoms or bicycloalkyl with 7 to 10 carbon atoms; these radicals possibly being substituted by one or several identical or different substituents chosen from halogen atoms and hydroxy, alkoxy radicals containing 1 to 4 carbon atoms, piperidinyl, morpholinyl, piperazinyl-1 (possibly substituted at -4 by an alkyl radical with 1 to 4 carbon atoms or by a phenylalkyl radical, the alkyl part of which

contains 1 to 4 carbon atoms), cycloalkyl with 3 to 6 carbon atoms, cycloalkenyl with 4 to 6 carbon atoms, phenyl, cyano, nitro, carboxy or alkoxycarbonyl, the alkyl part of which contains 1 to 4 carbon atoms, or a phenyl radical, possibly substituted by one or several identical or different radicals, chosen from alkyl radicals with 1 to 4 carbon atoms or alkoxy radicals containing 1 to 4 carbon atoms, a saturated or unsaturated nitrogenous heterocyclic radical containing 5 or 6 members, possibly substituted by one or several alkyl radicals with 1 to 4 carbon atoms, understanding that the cycloalkyl, cycloalkenyl or bicycloalkyl radicals can possibly be substituted by one or several alkyl radicals with 1 to 4 carbon atoms; and

10           - Sc is the characteristic side chain of steroids or a linear or branched alkyl radical with 1-12 carbon atoms, alkenyl with 2 to 12 carbon atoms, alkynyl with 3 to 12 carbon atoms, cycloalkyl with 3 to 6 carbon atoms, cycloalkenyl with 4 to 6 carbon atoms or bicycloalkyl with 7 to 10 carbon atoms; these radicals possibly being substituted by one or several identical or different substituents chosen from halogen atoms and hydroxy, alkoxy radicals containing 1 to 4 carbon atoms, piperidinyl, morpholinyl, piperazinyl-1 (possibly substituted at -4 by an alkyl radical with 1 to 4 carbon atoms or by a phenylalkyl radical, the alkyl part of which contains 1 to 4 carbon atoms), cycloalkyl with 3 to 6 carbon atoms, cycloalkenyl with 4 to 6 carbon atoms, phenyl, cyano, nitro, carboxy or alkoxycarbonyl, the alkyl part of which contains 1 to 4 carbon atoms, or a phenyl radical, possibly substituted by one or several identical or different radicals, chosen from alkyl radicals with 1 to 4 carbon atoms, or alkoxy radicals containing 1 to 4 carbon atoms, a saturated or unsaturated nitrogenous heterocyclic radical with 5 or 6 members, possibly substituted by one or several alkyl radicals with 1 to 4 carbon atoms, understanding that the cycloalkyl, cycloalkenyl or bicycloalkyl radicals can possibly be substituted by one or several alkyl radicals containing 1 to 4 carbon atoms; and

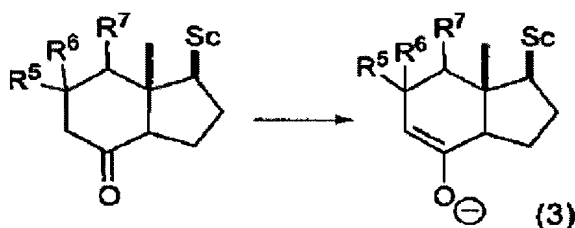
              - Sk is an amino acid chain analogous to that of taxanes, in which P represents a phenyl group or an alkoxy radical with alkyl chains with 1 to 10 carbon atoms, alkenyl and alkynyl chains with 3 to 10 carbon atoms, cycloalkyl and cycloalkenyl chains with 4 to 7 carbon atoms in the ring, a phenyl or a heterocyclic compound, and Ar is an aromatic compound.

30           3.- A process for preparing the compounds of formula (1), characterized, as the most important synthetic transformations, by the following steps:



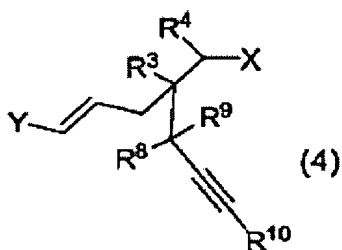
(1)

a) alkylation of the kinetic enolate of the ketones carrying the CD ring of steroids, of general formula (3),



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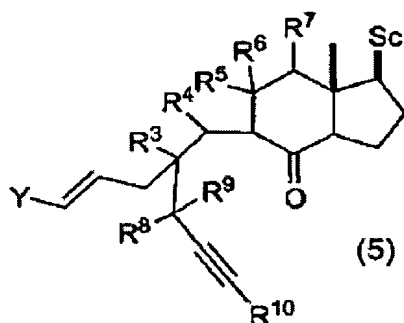
with the suitable alkylating agents of general formula (4),



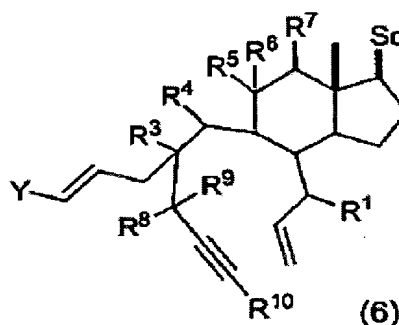
(4)

obtaining as a reaction product compounds of general formula (5), wherein the Sc, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup> and R<sup>10</sup> groups have the structural characteristics indicated in claim 1, the X group can be a halogen, a sulfonate group, any other good leaving group or a carbonyl group, and the Y group can be a methyl, propyl, ethyl or isopropyl group:

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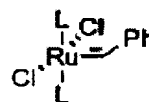
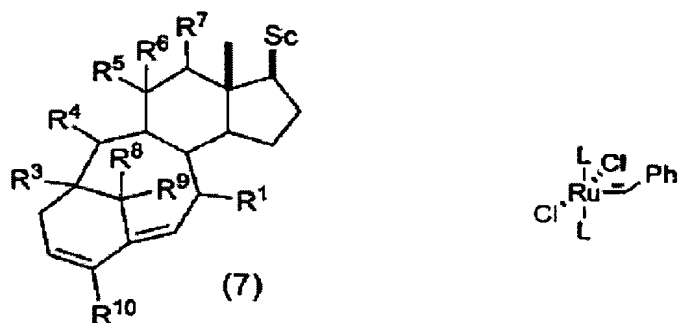


a) allylation of the compounds of general formula (5) of the previous step a) in an inert solvent to obtain the corresponding alcohols of general formula (6),



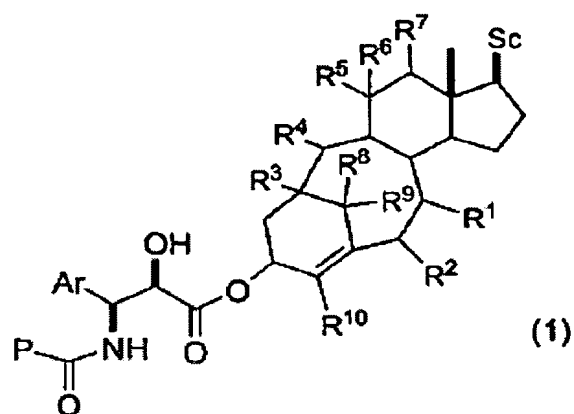
5 wherein the Sc, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup> and Y groups have the characteristics described hereinbefore, and the R<sup>1</sup> group has the structural characteristics indicated in claim 1;

b) metathesis cyclization reaction of the dienynes of general formula (6) of the previous step b), catalyzed by metal carbene catalysts typical for this type of processes  
10 and in a suitable solvent, obtaining products of general formula (7),



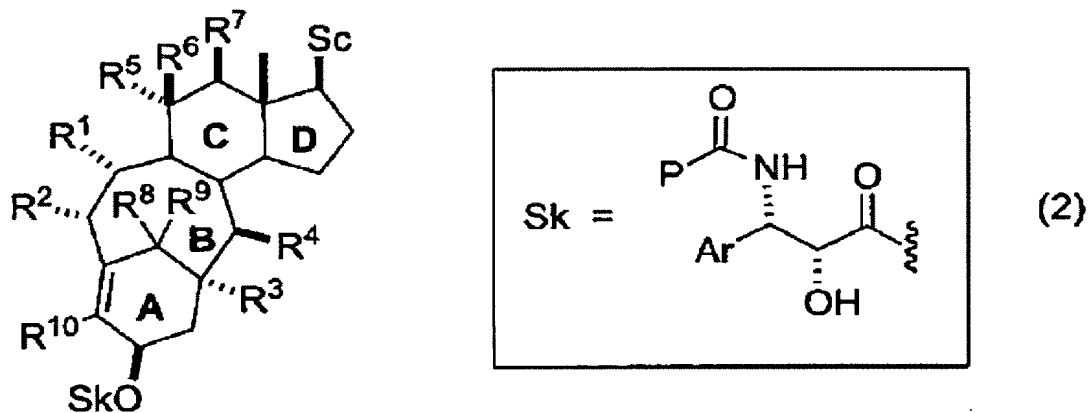
wherein the Sc, R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup> and R<sup>10</sup> groups have the previously given meaning;

d) subsequent modifications of functional groups, such as oxidations, reductions,  
15 esterifications, alkylations, isomerizations, etc., to give the compounds of general formula (1),

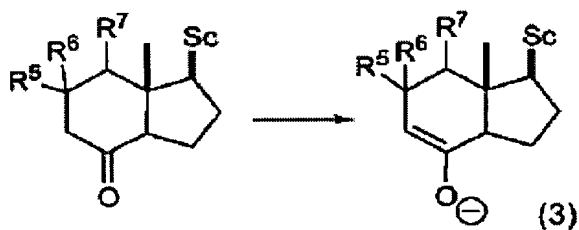


wherein the Sc, P, Ar, R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup> and R<sup>10</sup> groups have the meaning previously given in claim 1.

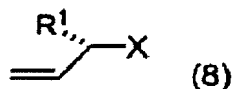
- 5            4.- A process for preparing the compounds of general formula (2), characterized, as the most important synthetic transformations, by the following steps:



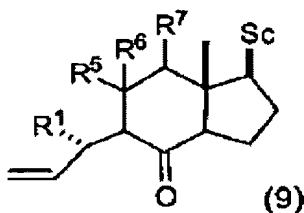
- 10            a) alkylation of the kinetic enolate of the ketones carrying the CD ring of steroids, of general formula (3),



with the suitable alkylating agents of general formula (8)

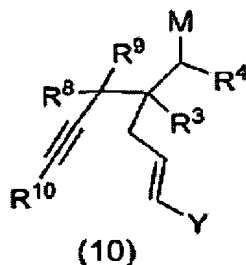


obtaining as a reaction product compounds of general formula (9), wherein the Sc, R<sup>1</sup>, R<sup>5</sup>, R<sup>6</sup> and R<sup>7</sup> groups have the structural characteristics indicated in claim 2, the X group can be a halogen, a sulfonate group, any other good leaving group,



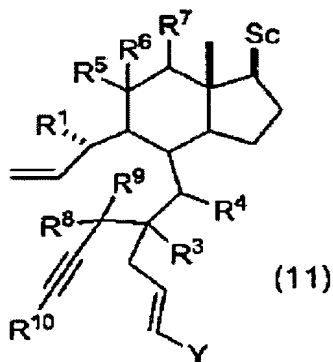
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b) alkylation of the carbonyl group of the compounds of general formula (9) of the previous step a) in an inert solvent, with the corresponding organometallic compounds of general formula (10),



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wherein the R<sup>3</sup>, R<sup>4</sup>, R<sup>8</sup>, R<sup>9</sup> and R<sup>10</sup> groups have the structural characteristics indicated in claim 2, M can be a metal having the characteristics of Mg, Li, Na, etc., and the Y group can be a methyl, propyl, ethyl or isopropyl group, to obtain the corresponding alcohols of general formula (11),

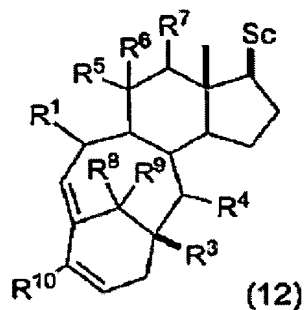


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wherein the Sc, R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup> and Y groups have the previously

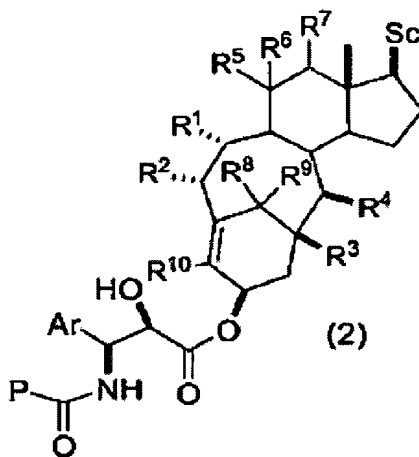
given meaning;

c) metathesis cyclization reaction of the dienyne of general formula (11) of the previous step b), catalyzed by metal carbene catalysts typical for this type of processes and in a suitable solvent, obtaining products of general formula (12),



wherein the Sc, R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup> and R<sup>10</sup> groups have the previous meaning;

d) subsequent modifications of functional groups, such as oxidations, reductions, esterifications, alkylations, isomerizations, etc., to give the compounds of general formula (2),



wherein the Sc, P, Ar, R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup> and R<sup>10</sup> groups have the meaning previously given in claim 2.

5.- A pharmaceutical composition characterized in that it contains a compound of claims 1, 2, 3 and 4 as an active ingredient in a mixture with the suitable vehicle or carrier.

6.- Use of the compounds of general formulas 1 and 2 in the production of an antitumor pharmaceutical composition.

7.- Use of the compounds of general formulas 1 and 2 in the production of an



antifungal pharmaceutical composition.

8.- Use of the compounds of general formulas 1 and 2 in the production of an antimicrobial pharmaceutical composition.

5 9.- Use of the compounds of general formulas 1 and 2 in the production of an antiviral pharmaceutical composition.

10.- Use of the compounds of general formulas 1 and 2 in the production of an immunosuppressant pharmaceutical composition.